

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property Organization International Bureau



(43) International Publication Date  
23 October 2003 (23.10.2003)

PCT

(10) International Publication Number  
**WO 2003/087132 A3**

(51) International Patent Classification<sup>7</sup>: C07K 14/00, (74) Agents: LEVY, David, J et al.; GlaxoSmithKline, Five  
G01N 33/53  
Moore Drive, PO Box 13398, Research Triangle Park, NC  
27709 (US).

(21) International Application Number:

PCT/US2003/011055

(81) Designated States (*national*): AE, AG, AL, AM, AT, AU,

(22) International Filing Date: 11 April 2003 (11.04.2003)

AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU,  
CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,  
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,  
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW,  
MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD,  
SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US,  
UZ, VC, VN, YU, ZA, ZM, ZW.

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

60/372,524 12 April 2002 (12.04.2002) US

(84) Designated States (*regional*): ARIPO patent (GH, GM,  
KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW),  
Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM),  
European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE,  
ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI,  
SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN,  
GQ, GW, ML, MR, NE, SN, TD, TG).

(71) Applicant (*for all designated States except US*):  
**SMITHKLINE BEECHAM CORPORATION**  
[US/US]; One Franklin Plaza, Philadelphia, PA 19101  
(US).

Published:

— with international search report

(88) Date of publication of the international search report:  
26 February 2004

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

WO 2003/087132 A3

(54) Title: COMPOSITIONS AND METHODS FOR EVALUATING AND DESIGNING NUCLEAR RECEPTOR LIGANDS THAT MODULATE CO-REGULATOR AFFINITY

(57) Abstract: Isolated corepressor peptides derived from a nuclear receptor interacting motif of corepressor Nuclear Receptor Corepressor (NCoR) or Silencing Mediator of Retinoid and Thyroid receptors (SMRT) are provided which binds to nuclear receptor ligand binding domains. Methods of using these peptides to evaluating selectivity of a ligand for a nuclear receptor subtype and quantitatively profiling selectivity of a test compound for a nuclear receptor are also provided.

# PATENT COOPERATION TREATY

## PCT

### INTERNATIONAL SEARCH REPORT

(PCT Article 18 and Rules 43 and 44)

Applicant's or agent's file reference PU4825WO	FOR FURTHER ACTION	see Notification of Transmittal of International Search Report (Form PCT/ISA/220) as well as, where applicable, item 5 below.
International application No. PCT/US03/11055	International filing date (day/month/year) 11 April 2003 (11.04.2003)	(Earliest) Priority Date (day/month/year) 12 April 2002 (12.04.2002)
Applicant SMITHKLINE BEECHAM CORPORATION		

This international search report has been prepared by this International Searching Authority and is transmitted to the applicant according to Article 18. A copy is being transmitted to the International Bureau.

This international search report consists of a total of 3 sheets.



It is also accompanied by a copy of each prior art document cited in this report.

**1. Basis of the Report**

- a. With regard to the language, the international search was carried out on the basis of the international application in the language in which it was filed, unless otherwise indicated under this item.

the international search was carried out on the basis of a translation of the international application furnished to this Authority (Rule 23.1(b)).

- b. With regard to any nucleotide and/or amino acid sequence disclosed in the international application, the international search was carried out on the basis of the sequence listing:

contained in the international application in written form.

filed together with the international application in computer readable form.

furnished subsequently to this Authority in written form.

furnished subsequently to this Authority in computer readable form.

the statement that the subsequently furnished written sequence listing does not go beyond the disclosure in the international application as filed has been furnished.

the statement that the information recorded in computer readable form is identical to the written sequence listing has been furnished.

2.  Certain claims were found unsearchable (See Box I).

3.  Unity of invention is lacking (See Box II).

4. With regard to the title,

the text is approved as submitted by the applicant.

the text has been established by this Authority to read as follows:

5. With regard to the abstract,

the text is approved as submitted by the applicant.

the text has been established, according to Rule 38.2(b), by this Authority as it appears in Box III. The applicant may, within one month from the date of mailing of this international search report, submit comments to this Authority.

6. The figure of the drawings to be published with the abstract is Figure No. \_\_\_\_\_

as suggested by the applicant.

because the applicant failed to suggest a figure.

because this figure better characterizes the invention.



None of the figures

Form PCT/ISA/210 (first sheet) (July 1998)

## INTERNATIONAL SEARCH REPORT

International application No.

PCT/US03/11055

## A. CLASSIFICATION OF SUBJECT MATTER

IPC(7) : C07K 14/00; G01N 33/53  
 US CL : 435/7.8; 530/300

According to International Patent Classification (IPC) or to both national classification and IPC

## B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)  
 U.S. : 435/7.8; 530/300

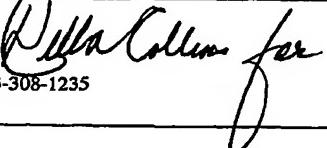
Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practicable, search terms used)  
 Please See Continuation Sheet

## C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	WO 01/75443 A2 (GLAXO GROUP LIMITED) 11 October 2001 (11.10.2001), pages 6, 22, and 25.	1-11

<input type="checkbox"/>	Further documents are listed in the continuation of Box C.	<input type="checkbox"/>	See patent family annex.
*	Special categories of cited documents:	"T"	later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
"A"	document defining the general state of the art which is not considered to be of particular relevance	"X"	document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
"E"	earlier application or patent published on or after the international filing date	"Y"	document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art
"L"	document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)	"&"	document member of the same patent family
"O"	document referring to an oral disclosure, use, exhibition or other means		
"P"	document published prior to the international filing date but later than the priority date claimed		

Date of the actual completion of the international search  20 September 2003 (20.09.2003)	Date of mailing of the international search report  30 OCT 2003
Name and mailing address of the ISA/US  Mail Stop PCT, Attn: ISA/US Commissioner for Patents P.O. Box 1450 Alexandria, Virginia 22313-1450 Facsimile No. (703)305-3230	Authorized officer  Michael Pak Telephone No. 703-308-1235  

INTERNATIONAL SEARCH REPORT

PCT/US03/11055

**Continuation of B. FIELDS SEARCHED Item 3:**  
BRS, GENESEQ, PIR, SWISSPROT, SPTREMBL  
search terms: nuclear receptor, corepressor, NCOR, SMRT, binding